

TITLE: Preparation of pyrimidine derivatives as drugs for treating disease and disorders of cerebral blood vessels

INVENTOR(S): Takatani, Takao; Takasugi, Hisashi; Kuno, Atsushi; Sugiyama, Yoshie; Sakai, Hiroyoshi; Okubo, Mitsuru

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 31 pp.  
CODEN: JKXXAF

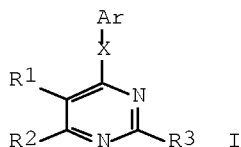
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 63107966	A	19880512	JP 1987-124326	19870520
PRIORITY APPLN. INFO.:			JP 1986-117800	A1 19860522
OTHER SOURCE(S): CASREACT 109:170451; MARPAT 109:170451				
ED Entered STN: 12 Nov 1988				
GI				

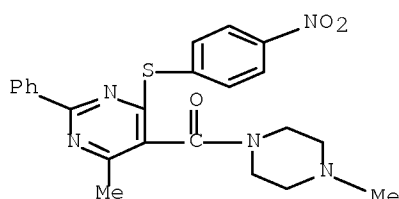


AB The title compds. [I; Ar = (nitro or haloalkyl)aryl, fused benzene-heterocyclyl containing N or O; X = bond, lower hydroxyalkylene, lower alkenylene, NH, S, CO; R<sup>1</sup> = (esterified) CO<sub>2</sub>H, lower hydroxyalkyl, lower haloalkyl, (N-substituted) CONH<sub>2</sub> or lower aminoalkyl; R<sup>2</sup> = H, lower alkyl; optionally R<sup>1</sup>R<sup>2</sup> completing (substituted) N-containing heterocycle; R<sup>3</sup> = aryl], were prepared as drugs e.g. for treating apoplexy. A mixture of 6-bromomethyl-4-(3-nitrophenyl)-2-phenyl-5-pyrimidinecarboxylic acid Me ester and Me<sub>2</sub>NCH<sub>2</sub>CHNH<sub>2</sub> in iso-PrOH was stirred at 70° for 1 h to give 6-[2-(dimethylamino)ethyl]-4-(3-nitrophenyl)-5-oxo-2-phenyl-6,7-dihydropyrrolo[3,4-d]pyrimidine. The latter at 10 mg/kg i.p. extended the survival time of mice from 28.2 ± 1.1 s (control) to 33.6 ± 2.9 s when the mice were exposed to 100% N atmospheric

IT 116904-26-8P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as drug for treating apoplexy)

RN 116904-26-8 HCAPLUS

CN Piperazine, 1-methyl-4-[[6-methyl-4-[(4-nitrophenyl)thio]-2-phenyl-5-pyrimidinyl]carbonyl]- (9CI) (CA INDEX NAME)



IC ICM C07D239-28  
ICS A61K031-505; C07D239-32; C07D239-42; C07D403-06; C07D413-04;  
C07D487-04

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))  
Section cross-reference(s): 1

IT 103294-21-9P 116904-11-1P 116904-12-2P 116904-13-3P 116904-14-4P  
116904-15-5P 116904-16-6P 116904-17-7P 116904-18-8P 116904-19-9P  
116904-20-2P 116904-21-3P 116904-22-4P 116904-23-5P 116904-24-6P  
116904-25-7P 116904-26-8P 116904-27-9P 116904-28-0P  
116904-29-1P 116904-30-4P 116904-31-5P 116904-32-6P 116904-33-7P  
116904-34-8P 116904-35-9P 116904-36-0P 116904-37-1P 116904-38-2P  
116904-39-3P 116904-40-6P 116904-41-7P 116904-42-8P  
116904-43-9P 116904-44-0P 116904-45-1P 116904-46-2P  
116904-47-3P 116904-48-4P 116904-49-5P 116904-50-8P 116904-51-9P  
116904-52-0P 116904-53-1P 116904-54-2P 116904-55-3P  
116904-56-4P 116904-57-5P 116904-58-6P 116904-59-7P  
116904-60-0P 116904-61-1P 116904-62-2P 116904-63-3P 116904-64-4P  
116904-65-5P 116904-66-6P 116904-67-7P 116904-68-8P 116904-69-9P  
116904-78-0P 116924-79-9P 116924-80-2P 117699-25-9P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as drug for treating apoplexy)